

Structure attributes must be viewed using STN Express query preparation.

=> s l4

SAMPLE SEARCH INITIATED 10:25:25 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS 3 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 3 TO 163
 PROJECTED ANSWERS: 3 TO 163

L5 3 SEA SSS SAM L4

=> s l4 full

FULL SEARCH INITIATED 10:25:35 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 56 TO ITERATE

100.0% PROCESSED 56 ITERATIONS 56 ANSWERS
 SEARCH TIME: 00.00.01

L6 56 SEA SSS FUL L4

=> file caplus

| | | |
|----------------------|------------|---------|
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 323.09 | 323.30 |

FILE 'CAPLUS' ENTERED AT 10:25:40 ON 15 NOV 2005
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
 COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is

held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 15 Nov 2005 VOL 143 ISS 21
FILE LAST UPDATED: 14 Nov 2005 (20051114/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

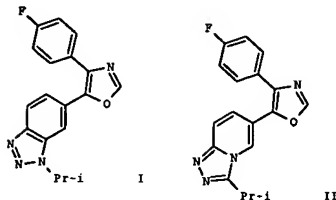
<http://www.cas.org/infopolicy.html>

=> s l6

L7 10 L6

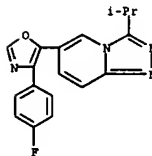
=> d ibib abs hitstr tot

L7 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2005:704222 CAPLUS
 DOCUMENT NUMBER: 143:326257
 TITLE: Theoretical and Experimental Design of Atypical Kinase Inhibitors: Application to p38 MAP Kinase
 AUTHOR(S): McClure, Kim F.; Abramov, Yuriy A.; Laird, Ellen R.; Barberia, John T.; Cai, Weiling; Carty, Thomas J.; Cortina, Santo R.; Danley, Dennis E.; DiPesa, Alan J.; Donahue, Kathleen M.; Dombroski, Mark A.; Elliott, Nancy C.; Gabel, Christopher A.; Han, Seungil; Hynes, Thomas R.; LeHotte, Peter K.; Mansour, Mahmoud N.; Marr, Eric S.; Letavic, Michael A.; Pandit, Jayvardhan; Ripin, David B.; Sweeney, Francis J.; Tan, Douglas; Tao, Yong
 CORPORATE SOURCE: Groton Laboratories, Pfizer Global Research and Development, Groton, CT, 06340, USA
 SOURCE: Journal of Medicinal Chemistry (2005), 48(18), 5728-5737
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

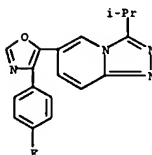


AB Mimics of the benzimidazolone nucleus found in inhibitors of p38 kinase are proposed, and their theor. potential as bioisosteres is described. A set of calculated descriptors relevant to the anticipated binding interaction for the fragments 1-methyl-1H-benzotriazole, 3-methylbenzo[d]isoxazole, and 3-methyl[1,2,4]triazolo[4,3-a]pyridine, pyridine, and 1,3-dimethyl-1,3-dihydro-benzimidazol-2-one are reported. The design considerations and synthesis of p38 inhibitors based on these H-bond acceptor fragments is detailed. Comparative evaluation of the pyridine-, benzimidazolone-, benzotriazole-, and triazolopyridine-based inhibitors shows the triazoles I and II to be significantly more potent exptl. than the benzimidazolone after which they were modeled. An X-ray crystal structure of II bound to the active site shows that the triazole group serves as the H-bond acceptor but unexpectedly as a dual acceptor,

L7 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 inducing movement of the crossover connection of p38a. The computed descriptors for the hydrophobic and $\pi-\pi$ interaction capacities were the most useful in ranking potency.
 IT 459447-77-9DP, complex with p38 kinase
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (formation and crystal structure of)
 RN 459447-77-9 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



IT 459447-77-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of pyridine-, benzimidazolone-, benzotriazole-, and triazolopyridine-based inhibitors for p38 kinase)
 RN 459447-77-9 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

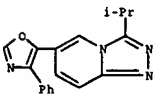
L7 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2005:588664 CAPLUS
 DOCUMENT NUMBER: 143:91021
 TITLE: Methods of treating acute inflammation in animals with p38 MAP kinase inhibitors
 INVENTOR(S): Kehrl, Marcus Eugene, Jr.; Sakya, Subas Man
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 52 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|--|----------|------------------|------------|
| WO 2005060967 | A1 | 20050707 | WO 2004-1B4035 | 20041206 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZH, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZH, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| US 2005153985 | A1 | 20050714 | US 2004-14392 | 20041216 |
| PRIORITY APPL. INFO.: | | | US 2003-530722P | P 20031218 |
| OTHER SOURCE(S): | | | MARPAT 143:91021 | |

AB The present invention provides methods for treating animals having acute inflammatory conditions, including mastitis, by administering at least one p38 MAP kinase inhibitor. The present invention also provides methods for enhancing milk production and reducing milk discard in animals afflicted

with acute inflammatory conditions by administering at least one, p38 MAP kinase inhibitor.

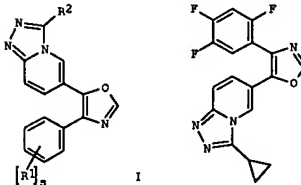
IT 459447-61-1
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (treating acute inflammation in animals with p38 MAP kinase inhibitors)
 RN 459447-61-1 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(phenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2004:654777 CAPLUS
 DOCUMENT NUMBER: 141:190791
 TITLE: Preparation of cycloalkyl-[4-(trifluorophenyl)-oxazol-5-yl]-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase
 INVENTOR(S): Dombroski, Mark A.; Letavic, Michael A.; McClure, Kim F.
 PATENT ASSIGNEE(S): Pfizer Inc, USA
 SOURCE: U.S. Pat. Appl. Publ., 24 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

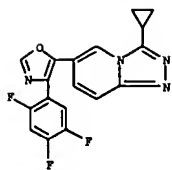
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|------|----------|-------------------|------------|
| US 2004157877 | A1 | 20040812 | US 2003-649216 | 20030827 |
| PRIORITY APPL. INFO.: | | | US 2002-407066P | P 20020830 |
| OTHER SOURCE(S): | | | MARPAT 141:190791 | |



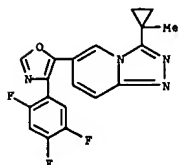
AB The title compds. [I; R1 = F; s = 3; R2 = (un)substituted cycloalkyl] which are potent inhibitors of MAP kinases, preferably p38 kinase, and therefore useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders, were prepared. E.g., a multi-step synthesis of II, starting from 2,5-dibromopyridine, was given. The pharmaceutical composition comprising the compound I is claimed.

IT 668990-95-2P 668990-95-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of cycloalkyl-[4-(trifluorophenyl)-oxazol-5-yl]-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase)
 RN 668990-95-2 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

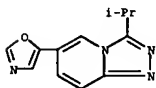
L7 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 668990-96-3 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylcyclopropyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



IT 668981-08-6P, 6-[Oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine 668981-09-7P, 6-[4-Bromoxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of cycloalkyl-[4-(trifluorophenyl)-oxazol-5-yl]-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase)
 RN 668981-08-6 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 668981-09-7 CAPLUS

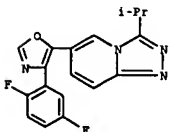
L7 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:569283 CAPLUS
 DOCUMENT NUMBER: 141:140449
 TITLE: Preparation of novel crystalline forms of 3-isopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine.
 INVENTOR(S): Kang, Ming; Li, Zheng Jane; Li, Zhengong Bryan; Tao, Yong
 PATENT ASSIGNEE(S): Pfizer Inc, USA
 SOURCE: U.S. Pat. Appl. Publ., 35 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| US 2004143119 | A1 | 20040722 | US 2003-649194 | 20030827 |
| US 6949652 | B2 | 20050927 | | |

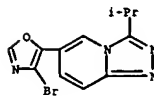
PRIORITY APPLN. INFO.: US 2002-407158P P 20020830
 AB Crystalline forms of 3-isopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine (I) having specified x-ray crystallog., ¹³C solid state NMR, and differential scanning calorimetry data were prepared. Thus, N- α -tosyl-(2,5-difluorophenyl)isocyanide (preparation given), 3-isopropyl-1,2,4-triazolo[4,3-a]pyridine-6-carboxaldehyde (preparation given), and K₂CO₃ were refluxed together for 22 h in MeCN to give 61% I. This was triturated in EtOAc/hexane followed by drying in vacuo at 40° for 48 h to give I form A.

IT 668981-02-0P
 RL: IMP (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (preparation of novel crystalline forms of isopropylidifluorophenylloxazolyltriazolopyridine)
 RN 668981-02-0 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

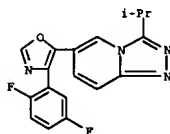


IT 668981-04-2P 668981-05-3P 668981-07-5P
 668981-08-6P 668981-09-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of novel crystalline forms of isopropylidifluorophenylloxazolyltriazolopyridine)
 RN 668981-04-2 CAPLUS

L7 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-(4-bromo-5-oxazolyl)-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

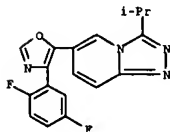


● HCl

RN 668981-05-3 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CH 1

CRN 668981-02-0
 CHP C18 H14 F2 N4 O



CH 2

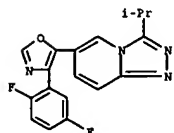
CRN 75-75-2
 CHP C H4 O3 S



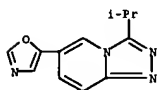
RN 668981-07-5 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CH 1

L7 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CRN 668981-02-0
CHF C18 H14 F2 N4 O

CM 2

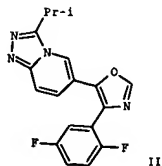
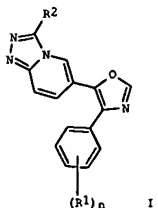
CRN 7664-93-9
CHF H2 O4 SRN 668981-08-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(5-oxazolyl)- (9CI)
(CA INDEX NAME)RN 668981-09-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-(4-bromo-5-oxazolyl)-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:392324 CAPLUS
DOCUMENT NUMBER: 140:406810
TITLE: Preparation of alkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolopyridines as MAP kinases, in particular p38 kinase inhibitors
INVENTOR(S): Dombroski, Mark A.; Letavic, Michael A.; McClure, Kim F.
PATENT ASSIGNEE(S): Pfizer Inc, USA
SOURCE: U.S. Pat. Appl. Publ., 31 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|------|----------|-----------------|----------|
| US 2004092547 | A1 | 20040513 | US 2003-649227 | 20030827 |
| PRIORITY APPL. INFO.: | | | US 2002-407088P | 20020830 |
| OTHER SOURCE(S): | | | | |

GI MARIAT 140:406810

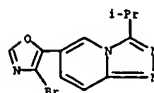


AB Title compds. I [wherein R1 = F; n = 2; R2 = alkyl, optionally substituted by halo, OH, alkoxy, and alkoxycarbonyl; with certain compds. absent; their pharmaceutically acceptable salts] were prepared as potent inhibitors of MAP kinases, preferably p38 kinase. For example, II was prepared by Pd-cross coupling of 6-(4-bromooxazol-5-yl)-3-isopropyl-(1,2,4)-triazolo[4,3-a]pyridine (preparation given) with 2,5-difluoroboronic acid in the presence of TEA/EtOH/H2O. Selected I had an IC50 <10 µM in the TNF-α and MAPKAP in vitro assays, and an EC50 <50 mg/kg in the in vivo TNFα assay. I are useful for treating inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

IT 668981-08-6P, 6-(Oxazol-5-yl)-3-isopropyl-(1,2,4)triazolo[4,3-a]pyridine 668981-09-7P, 6-(4-Bromooxazol-5-yl)-3-isopropyl-(1,2,4)triazolo[4,3-a]pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of

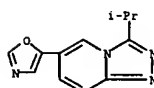
alkyldifluorophenylloxazolyltriazolopyridines

L7 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

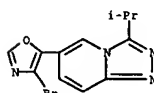


L7 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

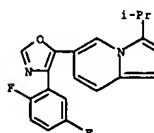
as MAP kinases, in particular p38 kinase inhibitors)
RN 668981-08-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(5-oxazolyl)- (9CI)
(CA INDEX NAME)



RN 668981-09-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-(4-bromo-5-oxazolyl)-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

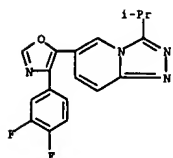


IT 668981-02-0P, 6-(4-(2,5-Difluorophenyl)oxazol-5-yl)-3-isopropyl-(1,2,4)triazolo[4,3-a]pyridine
RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(p38 kinase inhibitor; preparation of alkyldifluorophenylloxazolyltriazolopyridines as MAP kinases, in particular p38 kinase inhibitors)
RN 668981-02-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-(4-(2,5-difluorophenyl)-5-oxazolyl)-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

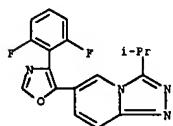


IT 459448-00-1P, 6-[4-(3,4-Difluorophenyl)oxazol-5-yl]-3-isopropyl-(1,2,4)triazolo[4,3-a]pyridine 668981-03-1P, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-(1,2,4)triazolo[4,3-a]pyridine 668981-04-2P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-(1,2,4)triazolo[4,3-a]pyridine hydrochloride 668981-05-3P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-

L7 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 [1,2,4]triazolo[4,3-a]pyridine methanesulfonate 668981-06-4P,
 6-[4-(2,5-difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-
 a]pyridine p-toluenesulfonate 668981-07-5P, 6-[4-(2,5-
 difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine
 sulfate 668990-77-0P, 3-tert-Butyl-6-[4-(2,5-
 difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine
 668990-78-1P, 3-tert-Butyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-
 [1,2,4]triazolo[4,3-a]pyridine 668990-97-4P,
 3-isopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-
 a]pyridine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (p38 kinase inhibitor; prepn. of alkylidifluorophenylloxazolyltriazolopyr-
 idines as MAP kinases, in particular p38 kinase inhibitors)
 RN 459448-00-1 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-
 methylethyl)- (9CI) (CA INDEX NAME)



RN 668981-03-1 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-
 methylethyl)- (9CI) (CA INDEX NAME)

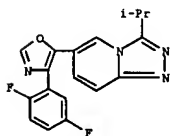


RN 668981-04-2 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-
 methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

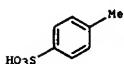
CH 1

CRN 668981-02-0
 CMF C18 H14 F2 N4 O



CH 2

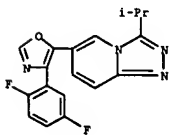
CRN 104-15-4
 CMF C7 H8 O3 S



RN 668981-07-5 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-
 methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CH 1

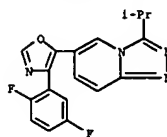
CRN 668981-02-0
 CMF C18 H14 F2 N4 O



CH 2

CRN 7664-93-9
 CMF H2 O4 S

L7 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

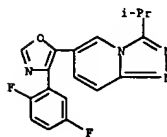


● HCl

RN 668981-05-3 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-
 methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CH 1

CRN 668981-02-0
 CMF C18 H14 F2 N4 O



CH 2

CRN 75-75-2
 CMF C H4 O3 S

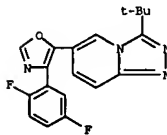


RN 668981-06-4 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-
 methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

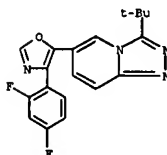
L7 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



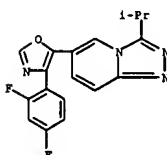
RN 668990-77-0 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(
 1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



RN 668990-78-1 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(
 1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



RN 668990-97-4 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-
 methylethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L7 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:372880 CAPLUS

DOCUMENT NUMBER: 140:391284

TITLE: Preparation of cycloalkyl-(4-(difluorophenyl)-oxazol-5-yl)-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase

INVENTOR(S): Dombroski, Mark A.; Letavic, Michael A.; McClure, Kim F.

PATENT ASSIGNER(S): Pfizer Inc, USA

SOURCE: U.S. Pat. Appl., 24 pp.

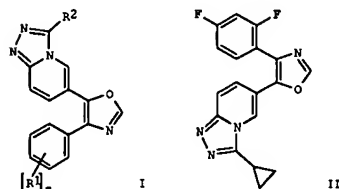
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------------|------|----------|-------------------|----------|
| US 2004087615 | A1 | 20040506 | US 2003-649255 | 20030827 |
| PRIORITY APPL. INFO. | | | US 2002-407489P | 20020830 |
| OTHER SOURCE(S): | | | | |
| GI | | | MARPAT 140:391284 | |



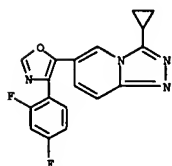
AB The title comps. [I; R1 = F; s = 2; R2 = (un)substituted cycloalkyl] which are potent inhibitors of MAP kinases, preferably p38 kinase, and therefore useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders, were prepared E.g., a multi-step synthesis of II, starting from 2,5-dibromopyridine, was given. The pharmaceutical composition comprising the compound I is claimed.

IT 668990-79-2F, 3-Cyclopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of cycloalkyl-(4-(difluorophenyl)-oxazol-5-yl)-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase)

RN 668990-79-2 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

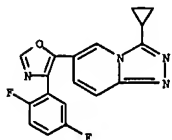
L7 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L7 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

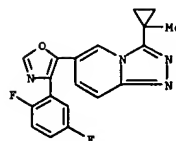


IT 668990-83-8F, 3-Cyclopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine 668990-84-9F, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)[1,2,4]triazolo[4,3-a]pyridine 668990-85-0F, 6-[4-(2,4-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)[1,2,4]triazolo[4,3-a]pyridine 668990-86-1F, 3-Cyclobutyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of cycloalkyl-(4-(difluorophenyl)-oxazol-5-yl)-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase)

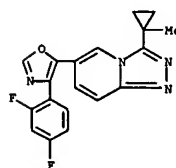
RN 668990-83-8 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



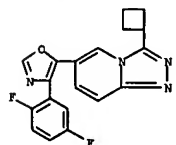
RN 668990-84-9 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)



RN 668990-85-0 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)



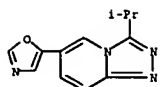
RN 668990-86-1 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



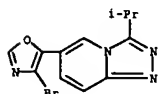
IT 668990-08-6F, 6-[Oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine 668990-09-7F, 6-[4-Bromooxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of cycloalkyl-(4-(difluorophenyl)-oxazol-5-yl)-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase)

RN 668990-08-6 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

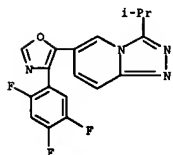
L7 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



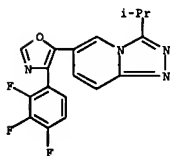
RN 668981-09-7 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-(4-bromo-5-oxazolyl)-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



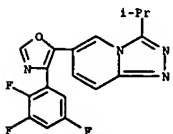
L7 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of 3-alkyl-6-[4-(trifluorophenyl)-oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridines as potent inhibitors of MAP kinases)
 RN 668990-87-2 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-90-7 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,4-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-91-8 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,4-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

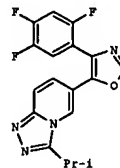
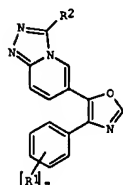


RN 668990-92-9 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,6-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:331789 CAPLUS
 DOCUMENT NUMBER: 140:357352
 TITLE: Preparation of 3-alkyl-6-[4-(trifluorophenyl)-oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridines as potent inhibitors of MAP kinases
 INVENTOR(S): Dombroski, Mark A.; Letavic, Michael A.; McClure, Kim F.
 PATENT ASSIGNER(S): Pfizer Inc, USA
 SOURCE: U.S. Pat. Appl. Publ., 25 pp.
 CODEN: USXICO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

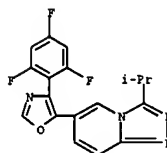
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|------|----------|-------------------|------------|
| US 2004077682 | A1 | 20040422 | US 2003-649265 | 20030827 |
| PRIORITY APPL. INFO.: | | | US 2002-407089P | P 20020830 |
| OTHER SOURCE(S): | | | MARPAT 140:357352 | |



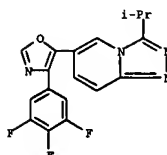
AB The title comps. [I; R1 = F; s = 3; R2 = alkyl optionally substituted by halo, OH, alkoxy, etc.] which are potent inhibitors of MAP kinases, preferably p38 kinase, were prepared. Thus, reacting [a-(p-toluenesulfonyl)-2,4,5-trifluorobenzyl]isonitrile with 3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine-6-carboxaldehyde (prepn. given) in the presence of K2CO3 in MeCN at 70°C for 22 h afforded 48% II. All comps. I that were tested had an IC50 of <10 µM in the TNFα and MAPKAP in vitro assays and ED50 of <50 mg/kg in the in vivo TNFα assay. The comps. I are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders. The pharmaceutical composition comprising the compound I is claimed.

IT 668990-87-2P 668990-90-7P 668990-91-8P
 668990-92-9P 668990-93-0P 668990-94-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

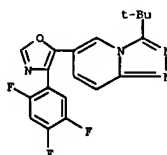
L7 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 668990-93-0 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

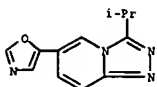


RN 668990-94-1 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1,1-dimethylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

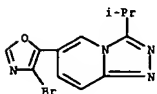


IT 668981-08-6P 668981-09-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 3-alkyl-6-[4-(trifluorophenyl)-oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridines as potent inhibitors of MAP kinases)
 RN 668981-08-6 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 668981-09-7 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-(4-bromo-5-oxazolyl)-3-(1-methylethyl)-
 (9CI) (CA INDEX NAME)

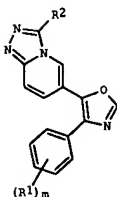


L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:203834 CAPLUS
 DOCUMENT NUMBER: 140:235722
 TITLE: Preparation of 6-[4-(di- or trifluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine as inhibitors of mitogen-activated protein (MAP) kinases
 INVENTOR(S): Dombrowski, Mark Anthony; Letavic, Michael Anthony; McClure, Kim Francis
 PATENT ASSIGNER(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 87 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|-------------------|-----------------|------------|
| WO 2004020440 | A1 | 20040311 | WO 2003-1B3847 | 20030819 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, EG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, ML, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SW, TD, TG | | | |
| CA 2494754 | AA | 20040311 | CA 2003-2494754 | 20030819 |
| EP 1537108 | A1 | 20050608 | EP 2003-791145 | 20030819 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| BR 2003013965 | A | 20050719 | BR 2003-13965 | 20030819 |
| US 2004053958 | A1 | 20040318 | US 2003-649236 | 20030827 |
| PRIORITY APPLN. INFO.: | | | US 2002-407177P | F 20020830 |
| | | | WO 2003-1B3847 | W 20030819 |
| OTHER SOURCE(S): | | MARPAT 140:235722 | | |
| GI | | | | |

L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

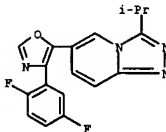


AB The present invention relates to novel triazolo-pyridines of the formula (I) [wherein R1 is fluoro; m = 2,3; R2 is C3-6 cycloalkyl optionally substituted by one or two moieties independently selected from the group consisting of halo, C1-4 alkyl, hydroxy, C1-6 alkoxy and C1-6 alkyl-CO-O; or R2 is C1-6 alkyl optionally substituted by one or two moieties independently selected from the group consisting of halo, C1-6 alkyl, hydroxy, C1-6 alkoxy and C1-6 alkyl-CO-O; with the proviso that said compound of this formula cannot be 6-[4-(2,4-difluorophenyl)-oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine or 6-[4-(3,4-difluorophenyl)-oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine] or pharmaceutically acceptable salt thereof; to intermediates for their preparation, and to pharmaceutical compns. containing them and to their medicinal

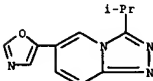
use. The compds. I are potent inhibitors of mitogen-activated protein (MAP) kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders. Thus, a mixture of [α-(p-toluenesulfonyl)-2,6-difluorobenzyl]isonitrile (1.79 g, 5.84 mmol), 3-isopropyl-[1,2,4]triazolo[4,3-a]-6-pyridinecarboxaldehyde > (1.10 g, 5.84 mmol), potassium carbonate (1.05 g, 7.59 mmol) and acetonitrile (17.5 mL) was refluxed for 22 h to give, after workup and silica gel chromatog., 6-[4-(2,6-difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine as a yellow solid. A tablet formulation containing 6-[4-(2,5-difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine was prepared, which can be administered to a human from one to four times a day for inhibiting cartilage damage or treating osteoarthritis.

IT 668981-02-0P
 RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (X-ray crystallog. data and polymorphism preparation of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38 kinase inhibitors and therapeutic agents)
 RN 668981-02-0 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

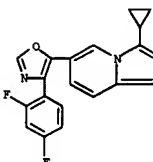
L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



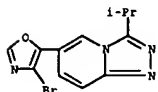
IT 668981-08-6P, 3-Isopropyl-6-(oxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; preparation of [(di- and trifluorophenyl)oxazolyl]triazolopyr
 idine as p38 kinase inhibitors and therapeutic agents)
 RN 668981-08-6 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



IT 668990-79-2P, 3-Cyclopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (intermediate; preparation of [(di- and trifluorophenyl)oxazolyl]triazolopyr
 idine as p38 kinase inhibitors and therapeutic agents)
 RN 668990-79-2 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

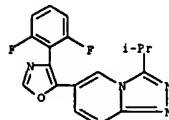


L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 IT 668981-09-7P, 3-Isopropyl-6-(4-bromoxazol-5-yl)-
 [1,2,4]triazolo[4,3-a]pyridine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (Intermediate; preparation of [(di- and
 trifluorophenyl)oxazolyl]triazolopyr
 idine as p38 kinase inhibitors and therapeutic agents)
 RN 668981-09-7 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-(4-bromo-5-oxazolyl)-3-(1-methylethyl)-
 (9CI) (CA INDEX NAME)

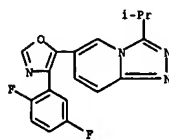


IT 668981-03-1P, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-
 [1,2,4]triazolo[4,3-a]pyridine 668981-04-2P,
 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]
 pyridine hydrochloride 668981-05-3P, 6-[4-(2,5-
 Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine
 methanesulfonate 668981-06-4P, 6-[4-(2,5-Difluorophenyl)oxazol-5-
 yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine p-toluenesulfonate
 668981-07-5P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-
 [1,2,4]triazolo[4,3-a]pyridine sulfate 668990-77-0P,
 3-tert-Butyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-
 a]pyridine 668990-78-1P, 3-tert-Butyl-6-[4-(2,4-
 difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine
 668990-83-8P, 3-Cyclopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-
 yl]-[1,2,4]triazolo[4,3-a]pyridine 668990-84-9P,
 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)-
 [1,2,4]triazolo[4,3-a]pyridine 668990-85-0P,
 6-[4-(2,4-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)-
 [1,2,4]triazolo[4,3-a]pyridine 668990-86-1P,
 3-Cyclobutyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-
 a]pyridine 668990-87-2P, 3-Isopropyl-6-[4-(2,4,5-
 trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine
 668990-90-7P, 3-Isopropyl-6-[4-(2,3,4-trifluorophenyl)oxazol-5-
 yl]-[1,2,4]triazolo[4,3-a]pyridine 668990-91-8P,
 3-Isopropyl-6-[4-(2,3,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-
 a]pyridine 668990-92-9P, 3-Isopropyl-6-[4-(2,4,6-
 trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine
 668990-93-0P, 3-Isopropyl-6-[4-(3,4,5-trifluorophenyl)oxazol-5-yl]-
 [1,2,4]triazolo[4,3-a]pyridine 668990-94-1P,
 3-tert-Butyl-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-
 a]pyridine 668990-95-2P, 3-Cyclopropyl-6-[4-(2,4,5-
 trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine
 668990-96-3P, 3-(1-Methylcyclopropyl)-6-[4-(2,4,5-
 trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine
 668990-97-4P, 3-Isopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-

L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 yl]-[1,2,4]triazolo[4,3-a]pyridine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (prepn. of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38
 kinase inhibitors and therapeutic agents)
 RN 668981-03-1 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-
 methylethyl)- (9CI) (CA INDEX NAME)



RN 668981-04-2 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-
 methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

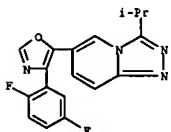
RN 668981-05-3 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-
 methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CH 1

CRN 668981-02-0

CMF C18 H14 F2 N4 O

L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



CH 2

CRN 75-75-2

CMF C H4 O3 S

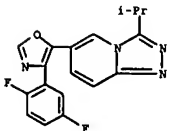


RN 668981-06-4 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-
 methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CH 1

CRN 668981-02-0

CMF C18 H14 F2 N4 O

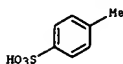


CH 2

CRN 104-15-4

CMF C7 H8 O3 S

L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

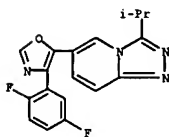


RN 668981-07-5 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-
 methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 668981-02-0

CMF C18 H14 F2 N4 O



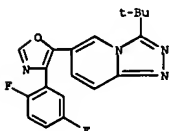
CH 2

CRN 7664-93-9

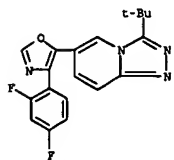
CMF H2 O4 S



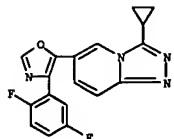
RN 668990-77-0 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-
 (1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



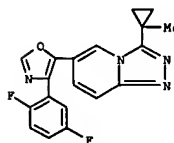
L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 668990-78-1 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



RN 668990-83-8 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

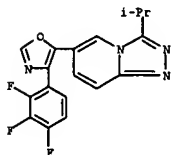


RN 668990-84-9 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)

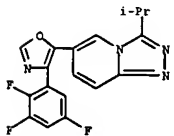


RN 668990-85-0 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)

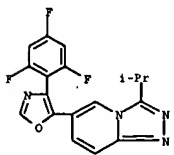
L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 668990-91-8 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

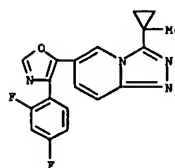


RN 668990-92-9 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,6-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

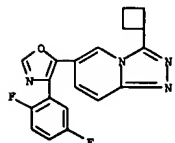


RN 668990-93-0 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

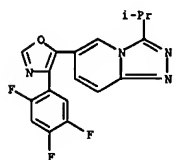
L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 668990-86-1 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

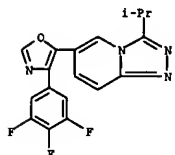


RN 668990-87-2 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

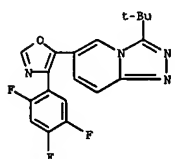


RN 668990-90-7 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,4-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

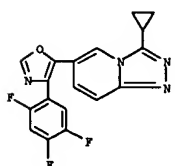
L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 668990-94-1 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1,1-dimethylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

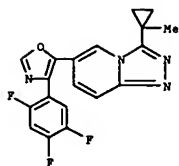


RN 668990-95-2 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

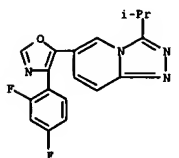


RN 668990-96-3 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylcyclopropyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 668990-97-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

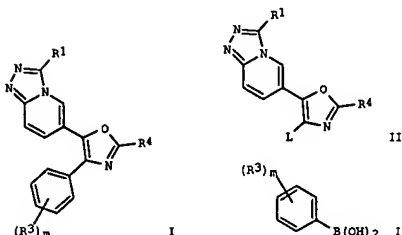


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:203832 CAPLUS
DOCUMENT NUMBER: 140:235721
TITLE: Novel processes and intermediates for preparing [1,2,4]triazolo[4,3-a]pyridines
INVENTOR(S): Buzon, Richard Allen Sr.; Castaldi, Michael James; Li, Zhengong Bryan; Ripin, David Harold Brown; Tao, Yong
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: P1XXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

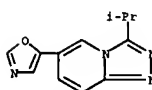
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2004020438 | A2 | 20040311 | WO 2003-1B3669 | 20030818 |
| WO 2004020438 | A3 | 20040722 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CS, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2496812 | A2 | 20040311 | CA 2003-2496812 | 20030818 |
| EP 1537107 | A2 | 20050608 | EP 2003-791115 | 20030818 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003013961 | A | 20050719 | BR 2003-13961 | 20030818 |
| US 2004053959 | A1 | 20040318 | US 2003-649247 | 20030827 |
| PRIORITY APPLN. INFO.: US 2002-407085P P 20020830 WO 2003-1B3669 W 20030818 | | | | |
| OTHER SOURCE(S): CASREACT 140:235721; MARPAT 140:235721 | | | | |
| GI | | | | |

L7 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

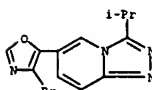


AB The present invention relates and intermediates to a novel process for preparing triazolo-pyridines of the formula (I) [R1 = H, cyano, each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-10 cycloalkyl, Ph, C1-10 heteroaryl, C1-10 heterocyclyl or R2 = halo, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, perhalo-C1-6 alkyl, Ph, C1-10 heteroaryl, C1-10 heterocyclyl, C3-10 cycloalkyl, HO, C1-6 alkoxy, perhalo-C1-10 alkoxy, PhO, C1-10 heteroaryloxy, C1-10 heterocyclyloxy-C3-10 cycloalkyloxy, C1-6 alkylthio, C1-16 alkylsulfonyl, C1-6 alkylsulfamoyl, amino, mono - or di(C1-6 alkyl)amino, C1-6 sulfonamino, C1-6 alkyl-carbonylamino, etc.] or two adjacent R2 taken together with the carbon atoms to which they are attached to form a five to six membered carbocyclic or heterocyclic ring; m = an integer from 0-5; R4 = H, F, Cl, R5-B (CH2)n-; n = n integer from 0-6; B = a bond, (CH2)6, O, S, SO2, CO, O-CO, CO-O, CO-NR6, R6N, R6NSO2, R6NCO, SO2NR6, R6NCONR7, O-CONR6 or R6NCO-O; R5 = H, CF3, cyano, each (un)substituted Ph, C1-10 heterocyclyl, C1-10 heteroaryl, or C3-10 cycloalkyl, etc.; R6 = H, C1-6 alkylsulfonfyl, C1-6 alkyl] or acceptable salts thereof, e.g., comprising reacting 6-(oxazol-5-yl)(1,2,4)triazolo[4,3-a]pyridines (II) (L = a leaving group and R1 and R4 are as defined above) with phenylboronic acids (III) and a transition metal catalyst. The compds. I prepared by the methods of the present invention are potent inhibitors of mitogen-activated protein (MAP) kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders. Thus, 6-(4-bromooxazol-5-yl)-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine (33.0 g, 0.107 mol), 2,5-difluorophenylboronic acid (25.34 g, 0.1605 mol), Pd(PPh3)4 (12.36 g, 0.0107 mol), Et3N (22.37 mL, 0.1605 mol), 2B ethanol (495 mL), and water (33 mL), were added to a 2 L 4 neck round bottom flask (equipped with mech. stirring, nitrogen, heating mantle, temperature controller, and a condenser), stirred while heating to 65 to 70°, and kept stirring overnight at approx 70°. Two addnl. difluorophenylboronic acid (8.5 g, 0.054 mol) and Et3N (7.53 mL, 0.054 mol), were added and each time the reaction was allowed to proceed overnight at 70°. Toluene (30 mL) was added and the reaction was allowed to go overnight once again at 70°, treated with H2O (495 mL), and pot-granulated for 4 h at 20 to 25°. The solids were collected by vacuum filtration, washed with 2B ethanol/H2O (50:50) (25 mL of each), and dried in a vacuum oven at 45° for 4 ho under full

L7 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
vacuum to afford 14.4 g 3-isopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine (40.6% yield, 93.4% purity by HPLC).
IT 668981-08-6P, 6-(Oxazol-5-yl)-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; preparation of triazolopyridines as p38 kinase inhibitors)
by Suzuki coupling of phenylboronic acid with (bromooxazolyl)triazolopyridine derivative or cyclocondensation of α-tosylbenzyl isonitrile with triazolopyridinecarboxaldehyde
RN 668981-08-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

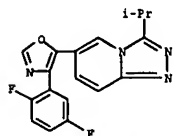


IT 668981-09-7P, 6-(4-Bromooxazol-5-yl)-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of triazolopyridines as p38 kinase inhibitors)
by Suzuki coupling of phenylboronic acid with (bromooxazolyl)triazolopyridine derivative or cyclocondensation of α-tosylbenzyl isonitrile with triazolopyridinecarboxaldehyde
RN 668981-09-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-(4-bromo-5-oxazolyl)-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

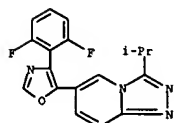


IT 668981-02-0P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazolopyridines as p38 kinase inhibitors by Suzuki coupling of phenylboronic acid with (bromooxazolyl)triazolopyridine derivative or cyclocondensation of α-tosylbenzyl isonitrile with triazolopyridinecarboxaldehyde)
RN 668981-02-0 CAPLUS

L7 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



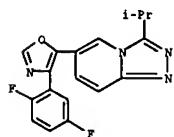
IT 668981-03-1P, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine 668981-04-2P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine hydrochloride 668981-05-3P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine methanesulfonate 668981-06-4P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine p-toluenesulfonate 668981-07-5P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine sulfate
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of triazolopyridines as p38 kinase inhibitors by Suzuki coupling of phenylboronic acid with (bromoxazolyl)triazolopyridine derivative or cyclocondensation of α-tosylbenzyl isonitrile with triazolopyridinecarboxaldehyde)
 RN 668981-03-1 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 668981-04-2 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

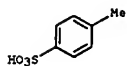
L7 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CH 1

CRN 668981-02-0
 CMF C18 H14 F2 N4 O



CH 2

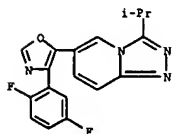
CRN 104-15-4
 CMF C7 H8 O3 S



RN 668981-07-5 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CH 1

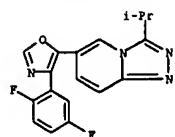
CRN 668981-02-0
 CMF C18 H14 F2 N4 O



CH 2

CRN 7664-93-9
 CMF H2 O4 S

L7 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

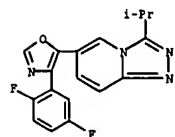


● HCl

RN 668981-05-3 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CH 1

CRN 668981-02-0
 CMF C18 H14 F2 N4 O



CH 2

CRN 75-75-2
 CMF C H4 O3 S



RN 668981-06-4 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

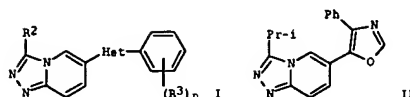
L7 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2002:16275 CAPLUS
 DOCUMENT NUMBER: 137:232658
 TITLE: Preparation of 6-(phenylheterocyclyl)-
 [1,2,4]triazolo[4,3-a]pyridines as anti-inflammatory
 agents
 INVENTOR(S): Dombroski, Mark Anthony; Duplantier, Allen Jacob;
 Laird, Ellen Ruth; Letavic, Michael Anthony; McClure,
 Kim Francis
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 111 pp.
 CODEN: PIXX2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-------------------|-----------------|------------|
| WO 2002072579 | A1 | 20020919 | WO 2002-18424 | 20020208 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZH, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZH, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2440222 | CA | 20020919 | CA 2002-2440222 | 20020208 |
| EP 1370559 | A1 | 20031217 | EP 2002-710260 | 20020208 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| EE 200300437 | A | 20040216 | EE 2003-437 | 20020208 |
| BR 2002007990 | A | 20040427 | BR 2002-7990 | 20020208 |
| CN 1496366 | A | 20040512 | CN 2002-806282 | 20020208 |
| JP 2004522799 | T2 | 20040729 | JP 2002-571495 | 20020208 |
| NZ 526528 | A | 20050225 | NZ 2002-526528 | 20020208 |
| US 2003096838 | A1 | 20030522 | US 2002-94760 | 20020311 |
| US 6696464 | B2 | 20040224 | | |
| ZA 2003004983 | A | 20040629 | ZA 2003-4983 | 20030626 |
| BG 108133 | A | 20040930 | BG 2003-108133 | 20030825 |
| NO 200303969 | A | 20031013 | NO 2003-3969 | 20030908 |
| PRIORITY APPLN. INFO.: | | | US 2001-274840P | P 20010309 |
| | | | WO 2002-18424 | W 20020208 |
| OTHER SOURCE(S): | | MARPAT 137:232658 | | |
| GI | | | | |

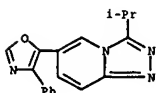
L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



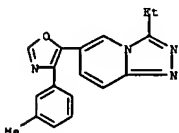
AB Title compds. I [wherein Het = (un)substituted pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, or isothiazolyl; R2 = H, alkenyl, alkynyl, or (un)substituted (cyclo)alkyl, Ph, heteroaryl, or heterocyclyl, or amino; R3 = halo, (cyclo)alkyl(oxyl), (perhalo)alkyl, alkenyl, alkynyl, Ph, heteroaryl(oxyl), heterocyclyl(oxyl), OH, (perhalo)alkoxy, PhO, alkylthio, alkylsulfonyl, alkylaminosulfonyl, NO2, (un)substituted amino, carbamoyl, etc.; n = 0-5; or pharmaceutically acceptable salts thereof] were prepared as potent inhibitors of MAP kinases, preferably p38 kinase (no data). For example, 6-chloronicotinic acid was condensed with N,O-dimethylhydroxylamine-HCl (96%). Treatment of the amide with (i-Bu)2AlH gave the aldehyde (24%), which was coupled with (phenyl)(p-tolylsulfonyl)methylisocyanide to afforded 2-chloro-5-(4-phenyloxazol-5-yl)pyridine (71%). Conversion to the hydrazine (100%), followed by coupling with isobutyl chloride and cyclization using POCl3 (32%), produced II. I are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases, and other disorders (no data).

II 459447-61-1P, 3-Isopropyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-64-4P, 3-Ethyl-6-(4-m-tolylloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-66-6P, 3-Cyclopropyl-6-(4-(4-fluorophenyl)oxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-67-7P, 3-Cyclobutyl-6-(4-(4-fluorophenyl)oxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-69-9P, 3-Difluoromethyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-71-3P, 3-(Isoxazol-5-yl)-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-72-4P, 6-(4-Phenyloxazol-5-yl)-3-(2,2,2-trifluoroethyl)-[1,2,4]triazolo[4,3-a]pyridine 459447-73-5P, 3-Cyclobutyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-74-6P, 3-Cyclopropyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-75-7P, 3-Ethyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-76-8P, 3-Ethyl-6-(4-(4-fluorophenyl)oxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-77-9P, 6-(4-(4-Fluorophenyl)oxazol-5-yl)-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine 459447-78-0P, 3-Cyclobutyl-6-(4-m-tolylloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-79-1P, 3-Isopropyl-6-(4-m-tolylloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-80-4P, 6-(4-(4-Fluoro-3-methylphenyl)oxazol-5-yl)-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine 459447-82-6P, 3-Cyclopropyl-6-(4-(4-fluoro-3-methylphenyl)oxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-83-7P, 6-(4-(4-Fluorophenyl)oxazol-5-yl)-3-phenyl-[1,2,4]triazolo[4,3-a]pyridine

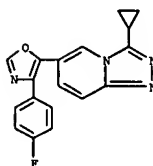
L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 459447-84-8P, 3-Isopropyl-6-(2-methyl-4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-88-2P, 6-(4-(4-Fluorophenyl)-2-methylloxazol-5-yl)-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine 459447-89-3P, 6-(4-(4-Fluorophenyl)oxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine-3-yl)acetic acid ethyl ester 459447-90-6P, 3-(2-Chlorophenyl)-6-(4-(m-tolyl)oxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-91-7P, 6-(4-(2-Fluoro-5-methylphenyl)oxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-92-8P 459447-92-8P, 3-(2-Fluorophenyl)-6-(4-(m-tolyl)oxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-94-0P, 6-(4-(4-Fluorophenyl)oxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine-3-yl)dimethylamine 459447-95-1P, 6-(4-(4-Fluoro-3-methylphenyl)oxazol-5-yl)-3-phenyl-[1,2,4]triazolo[4,3-a]pyridine 459447-96-2P, 6-(4-(3-Chloro-4-fluorophenyl)oxazol-5-yl)-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine 459447-97-3P, 6-(4-(3-Fluorophenyl)oxazol-5-yl)-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine 459447-98-4P, 3-(2-Chlorophenyl)-6-(4-(4-fluorophenyl)oxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459448-00-1P, 6-(4-(3,4-Difluorophenyl)oxazol-5-yl)-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine 459448-01-2P, 6-(4-(4-Fluorophenyl)-2-methylloxazol-5-yl)-3-phenyl-[1,2,4]triazolo[4,3-a]pyridine 459448-02-3P, 6-(4-(3-Fluorophenyl)oxazol-5-yl)-3-phenyl-[1,2,4]triazolo[4,3-a]pyridine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (anti-inflammatory agent; prepn. of (phenylheterocyclyl)triazolopyridines as anti-inflammatory agents)
 RN 459447-61-1 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



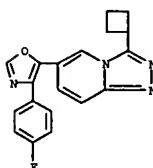
RN 459447-64-4 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-(4-(3-methylphenyl)-5-oxazolyl)- (9CI) (CA INDEX NAME)



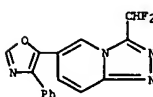
L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 459447-66-6 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-(4-(4-fluorophenyl)-5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 459447-67-7 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-(4-(4-fluorophenyl)-5-oxazolyl)- (9CI) (CA INDEX NAME)

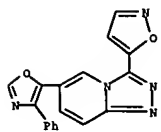


RN 459447-69-9 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(difluoromethyl)-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

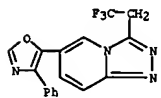


RN 459447-71-3 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(5-isoxazolyl)-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

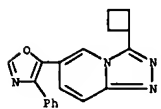
L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



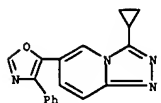
RN 459447-72-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-(4-phenyl-5-oxazolyl)-3-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



RN 459447-73-5 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

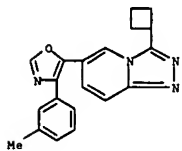


RN 459447-74-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

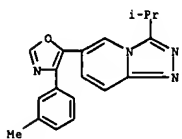


RN 459447-75-7 CAPLUS

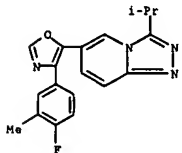
L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 459447-79-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

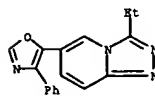


RN 459447-80-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

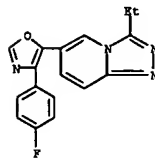


RN 459447-82-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

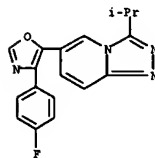
L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 459447-76-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

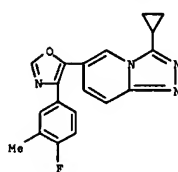


RN 459447-77-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

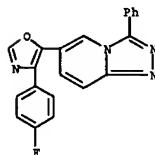


RN 459447-78-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

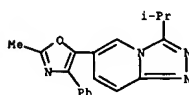
L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 459447-83-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)

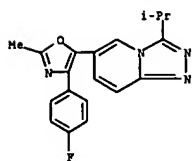


RN 459447-84-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(2-methyl-4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

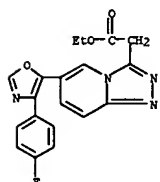


RN 459447-88-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-2-methyl-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

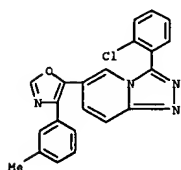
L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 459447-89-3 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine-3-acetic acid, 6-[4-(4-fluorophenyl)-5-oxazolyl]-, ethyl ester (9CI) (CA INDEX NAME)

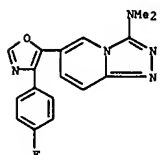


RN 459447-90-6 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-chlorophenyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

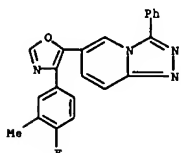


RN 459447-91-7 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2-fluoro-5-methylphenyl)-5-oxazolyl]-

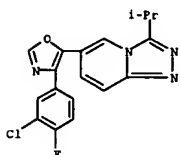
L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 459447-95-1 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)

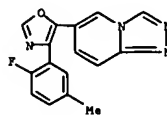


RN 459447-96-2 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-chloro-4-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

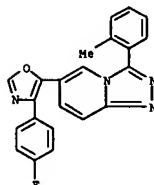


RN 459447-97-3 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

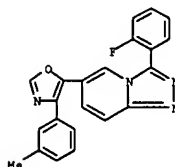
L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 459447-92-8 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-(2-methylphenyl)- (9CI) (CA INDEX NAME)

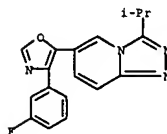


RN 459447-93-9 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-fluorophenyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

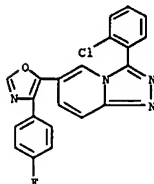


RN 459447-94-0 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine-3-amine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

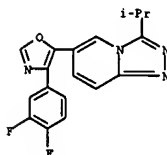
L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 459447-98-4 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-chlorophenyl)-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

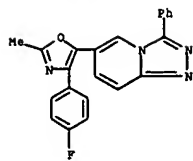


RN 459448-00-1 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

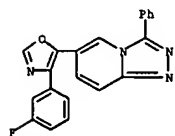


RN 459448-01-2 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)

L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 459448-02-3 CAPLUS
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-phenyl-
 (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10649247 11/07/05

=> logoff

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

52.55

375.85

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-7.30

-7.30

STN INTERNATIONAL LOGOFF AT 10:29:47 ON 15 NOV 2005